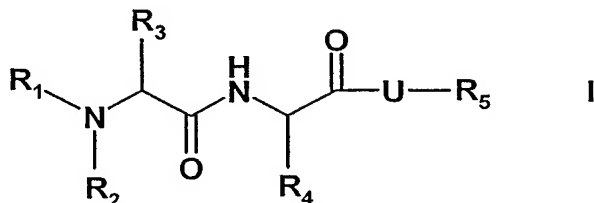


We claim:

1. A compound according to formula I



wherein

R<sub>1</sub> is H; C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>1</sub>-C<sub>4</sub> alkenyl; C<sub>1</sub>-C<sub>4</sub> alkynyl or C<sub>3</sub>-C<sub>10</sub>cycloalkyl which are unsubstituted or substituted;

R<sub>2</sub> is H; C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>1</sub>-C<sub>4</sub> alkenyl; C<sub>1</sub>-C<sub>4</sub> alkynyl or C<sub>3</sub>-C<sub>10</sub>cycloalkyl which are unsubstituted or substituted;

R<sub>3</sub> is H; -CF<sub>3</sub>; -C<sub>2</sub>F<sub>5</sub>; C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>1</sub>-C<sub>4</sub> alkenyl; C<sub>1</sub>-C<sub>4</sub> alkynyl; -CH<sub>2</sub>-Z or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen form a het ring;

Z is H; -OH; F; Cl; -CH<sub>3</sub>; -CF<sub>3</sub>; -CH<sub>2</sub>Cl; -CH<sub>2</sub>F or -CH<sub>2</sub>OH;

R<sub>4</sub> is C<sub>1</sub>-C<sub>16</sub> straight or branched alkyl; C<sub>1</sub>-C<sub>16</sub> alkenyl; C<sub>1</sub>-C<sub>16</sub> alkynyl; or -C<sub>3</sub>-C<sub>10</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>1-6</sub>-Z<sub>1</sub>; -(CH<sub>2</sub>)<sub>0-6</sub>-aryl; and -(CH<sub>2</sub>)<sub>0-6</sub>-het; wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted;

Z<sub>1</sub> is -N(R<sub>8</sub>)-C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; -C(O)-N(R<sub>9</sub>)(R<sub>10</sub>); -C(O)-O-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(O)-O-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(O)-O-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -C(O)-O-(CH<sub>2</sub>)<sub>1-6</sub>-het; -O-C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -O-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -O-C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -O-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted;

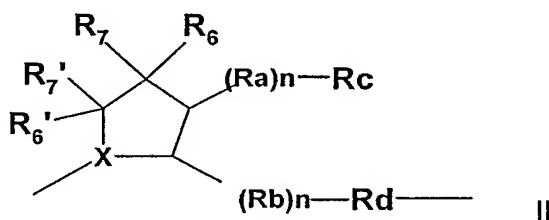
het is a 5-7 membered heterocyclic ring containing 1- 4 heteroatoms selected from N, O and S, or an 8-12 membered fused ring system including at least one 5-7 membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, O, and S, which heterocyclic ring or fused ring system is unsubstituted or substituted on a carbon or nitrogen atom;

R<sub>8</sub> is H; -CH<sub>3</sub>; -CF<sub>3</sub>; -CH<sub>2</sub>OH or -CH<sub>2</sub>Cl;

R<sub>9</sub> and R<sub>10</sub> are each independently H; C<sub>1</sub>-C<sub>4</sub>alkyl; C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted, or R<sub>9</sub> and R<sub>10</sub> together with the nitrogen form het;

R<sub>5</sub> is H; C<sub>1</sub>-C<sub>10</sub>-alkyl; aryl; phenyl; C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C<sub>1</sub>-C<sub>10</sub>alkyl-aryl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -(CH<sub>2</sub>)<sub>0-4</sub>CH-((CH<sub>2</sub>)<sub>1-4</sub>-phenyl)<sub>2</sub>; -(CH<sub>2</sub>)<sub>0-6</sub>-CH(phenyl)<sub>2</sub>; -indanyl; -C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>-cycloalkyl; -C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C(O)-phenyl; -(CH<sub>2</sub>)<sub>0-6</sub>-het; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; or R<sub>5</sub> is a residue of an amino acid, wherein the alkyl, cycloalkyl, phenyl and aryl substituents are unsubstituted or substituted;

U is as shown in structure II:



wherein

n = 0-5;

X is -CH or N;

Ra and Rb are independently an O, S, or N atom or C<sub>0-8</sub> alkyl wherein one or more of the carbon atoms in the alkyl chain may be replaced by a heteroatom selected from O, S or N, and where the alkyl may be unsubstituted or substituted;

Rd is selected from:

- (a) -Re - Q - (Rf)<sub>p</sub>(Rg)<sub>q</sub>; or
- (b) Ar<sub>1</sub>-D- Ar<sub>2</sub>;

Rc is H or Rc and Rd may together form a cycloalkyl or het; where if Rd and Rc form a cycloalkyl or het, R<sub>5</sub> is attached to the formed ring at a C or N atom;

p and q are independently 0 or 1;

Re is C<sub>1-8</sub> alkyl or alkylidene, and Re which may be unsubstituted or substituted;

Q is N, O, S, S(O), or S(O)<sub>2</sub>;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted aryl or het;

Rf and Rg are each independently H; -C<sub>1</sub>-C<sub>10</sub>alkyl; C<sub>1</sub>-C<sub>10</sub>alkylaryl; -OH; -O-C<sub>1</sub>-C<sub>10</sub>alkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; phenyl; aryl; phenyl-phenyl; -(CH<sub>2</sub>)<sub>1-6</sub>-het; -O-(CH<sub>2</sub>)<sub>1-6</sub>-het; -OR<sub>11</sub>; -C(O)-R<sub>11</sub>; -C(O)-N(R<sub>11</sub>)(R<sub>12</sub>); -N(R<sub>11</sub>)(R<sub>12</sub>); -S-R<sub>11</sub>; -S(O)-R<sub>11</sub>; -S(O)<sub>2</sub>-R<sub>11</sub>; -S(O)<sub>2</sub>-NR<sub>11</sub>R<sub>12</sub>; -NR<sub>11</sub>-S(O)<sub>2</sub>-R<sub>12</sub>; S-C<sub>1</sub>-C<sub>10</sub>alkyl; aryl-C<sub>1</sub>-C<sub>4</sub>alkyl; het-C<sub>1</sub>-C<sub>4</sub>-alkyl wherein alkyl, cycloalkyl, het and aryl are unsubstituted or substituted; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkyl; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkylphenyl; -O-C<sub>1</sub>-C<sub>4</sub>alkyl; or R<sub>g</sub> and R<sub>f</sub> form a ring selected from het or aryl;

D is -CO-; -C(O)-C<sub>1-7</sub> alkylene or arylene; -CF<sub>2</sub>-; -O-; -S(O)<sub>r</sub> where r is 0-2;

1,3dioxolane; or C<sub>1-7</sub> alkyl-OH; where alkyl, alkylene or arylene may be unsubstituted or substituted with one or more halogens, OH, -O-C<sub>1</sub>-C<sub>6</sub>alkyl, -S-C<sub>1</sub>-C<sub>6</sub>alkyl or -CF<sub>3</sub>; or D is -N(Rh) wherein Rh is H; C<sub>1-7</sub> alkyl (unsub or substituted); aryl; -O(C<sub>1-7</sub>cycloalkyl) (unsub or substituted); C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; C(O)-C<sub>0</sub>-C<sub>10</sub>alkyl-aryl; C-O-C<sub>1</sub>-C<sub>10</sub>alkyl; C-O-C<sub>0</sub>-C<sub>10</sub>alkyl-aryl or SO<sub>2</sub>-C<sub>1</sub>-C<sub>10</sub>-alkyl; SO<sub>2</sub>-(C<sub>0</sub>-C<sub>10</sub>-alkylaryl);

R<sub>6</sub>, R<sub>7</sub>, R'<sub>6</sub> and R'<sub>7</sub> are each independently H; -C<sub>1</sub>-C<sub>10</sub> alkyl; -C<sub>1</sub>-C<sub>10</sub> alkoxy; aryl-C<sub>1</sub>-C<sub>10</sub> alkoxy; -OH; -O-C<sub>1</sub>-C<sub>10</sub>alkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; phenyl; -

(CH<sub>2</sub>)<sub>1-6</sub>-het; -O-(CH<sub>2</sub>)<sub>1-6</sub>-het; -OR<sub>11</sub>; -C(O)-R<sub>11</sub>; -C(O)-N(R<sub>11</sub>)(R<sub>12</sub>); -N(R<sub>11</sub>)(R<sub>12</sub>); -S-R<sub>11</sub>; -S(O)-R<sub>11</sub>; -S(O)<sub>2</sub>-R<sub>11</sub>; -S(O)<sub>2</sub>-NR<sub>11</sub>R<sub>12</sub>; -NR<sub>11</sub>-S(O)<sub>2</sub>-R<sub>12</sub>; wherein alkyl, cycloalkyl and aryl are unsubstituted or substituted; and R<sub>6</sub>, R<sub>7</sub>, R'<sub>6</sub> and R'<sub>7</sub> can be united to form a ring system;

R<sub>11</sub> and R<sub>12</sub> are independently H; C<sub>1</sub>-C<sub>10</sub> alkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-(CH)<sub>0-1</sub>(aryl)<sub>1-2</sub>; -C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(O)-O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-O-fluorenyl; -C(O)-NH-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; -C(S)-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(S)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(S)-O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>0-6</sub>-O-fluorenyl; -C(S)-NH-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>1-6</sub>-het; wherein alkyl, cycloalkyl and aryl are unsubstituted or substituted; or R<sub>11</sub> and R<sub>12</sub> are a substituent that facilitates transport of the molecule across a cell membrane; or R<sub>11</sub> and R<sub>12</sub> together with the nitrogen atom form het;

wherein the alkyl substituents of R<sub>11</sub> and R<sub>12</sub> may be unsubstituted or substituted by one or more substituents selected from C<sub>1</sub>-C<sub>10</sub>alkyl, halogen, OH, -O-C<sub>1</sub>-C<sub>6</sub>alkyl, -S-C<sub>1</sub>-C<sub>6</sub>alkyl or -CF<sub>3</sub>;

substituted cycloalkyl substituents of R<sub>11</sub> and R<sub>12</sub> are substituted by one or more substituents selected from a C<sub>1</sub>-C<sub>10</sub> alkene; C<sub>1</sub>-C<sub>6</sub>alkyl; halogen; OH; -O-C<sub>1</sub>-C<sub>6</sub>alkyl; -S-C<sub>1</sub>-C<sub>6</sub>alkyl or -CF<sub>3</sub>; and

substituted phenyl or aryl of R<sub>11</sub> and R<sub>12</sub> are substituted by one or more substituents selected from halogen; hydroxy; C<sub>1</sub>-C<sub>4</sub> alkyl; C<sub>1</sub>-C<sub>4</sub> alkoxy; nitro; -CN; -O-C(O)-C<sub>1</sub>-C<sub>4</sub>alkyl and -C(O)-O-C<sub>1</sub>-C<sub>4</sub>-aryl,

or pharmaceutically acceptable salts thereof.

2. A compound formula (I) according to claim 1 wherein

R<sub>1</sub> is H; -C<sub>1</sub>-C<sub>4</sub> alkyl; -C<sub>1</sub>-C<sub>4</sub> alkenyl; -C<sub>1</sub>-C<sub>4</sub> alkynyl or cycloalkyl which are unsubstituted or substituted by one or more substituents selected from halogen, -OH, -SH, -OCH<sub>3</sub>, -SCH<sub>3</sub>, -CN, -SCN and nitro;

R<sub>2</sub> is H; -C<sub>1</sub>-C<sub>4</sub>alkyl; -C<sub>1</sub>-C<sub>4</sub> alkenyl; -C<sub>1</sub>-C<sub>4</sub> alkynyl or cycloalkyl which are unsubstituted or substituted by one or more substituents selected from halogen, -OH, -SH, -OCH<sub>3</sub>, -SCH<sub>3</sub>, -CN, -SCN and nitro;

R<sub>3</sub> is H; -CF<sub>3</sub>; -C<sub>2</sub>F<sub>5</sub>; -C<sub>1</sub>-C<sub>4</sub>alkyl; -C<sub>1</sub>-C<sub>4</sub>alkenyl; -C<sub>1</sub>-C<sub>4</sub>alkynyl; -CH<sub>2</sub>-Z or R<sub>2</sub> and R<sub>3</sub> together with the nitrogen form a het;

Z is H; -OH; F; Cl; -CH<sub>3</sub>; -CF<sub>3</sub>; -CH<sub>2</sub>Cl; -CH<sub>2</sub>F or -CH<sub>2</sub>OH;

R<sub>4</sub> is C<sub>1</sub>-C<sub>16</sub> straight or branched alkyl; C<sub>1</sub>-C<sub>16</sub> alkenyl; C<sub>1</sub>-C<sub>16</sub> alkynyl; or cycloalkyl; -(CH<sub>2</sub>)<sub>1-6</sub>-Z<sub>1</sub>; -(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; and -(CH<sub>2</sub>)<sub>0-6</sub>-het; wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted;

Z<sub>1</sub> is -N(R<sub>8</sub>)-C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -N(R<sub>8</sub>)-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; -C(O)-N(R<sub>9</sub>)(R<sub>10</sub>); -C(O)-O-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(O)-O-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(O)-O-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -C(O)-O-(CH<sub>2</sub>)<sub>1-6</sub>-het; -O-C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -O-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -O-C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-phenyl; -O-C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het, wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted;

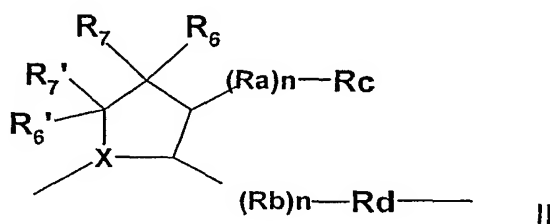
het is a 5-7 membered heterocyclic ring containing 1- 4 heteroatoms selected from N, O and S, or an 8-12 membered fused ring system including at least one 5-7 membered heterocyclic ring containing 1, 2 or 3 heteroatoms selected from N, O, and S, which heterocyclic ring or fused ring system is unsubstituted or substituted on a carbon atom by halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, nitro, -O-C(O)-C<sub>1</sub>-C<sub>4</sub>alkyl or -C(O)-O-C<sub>1</sub>-C<sub>4</sub>-alkyl or on a nitrogen by C<sub>1</sub>-C<sub>4</sub> alkyl, -O-C(O)-C<sub>1</sub>-C<sub>4</sub>alkyl or -C(O)-O-C<sub>1</sub>-C<sub>4</sub>alkyl;

R<sub>8</sub> is H, -CH<sub>3</sub>, -CF<sub>3</sub>, -CH<sub>2</sub>OH or -CH<sub>2</sub>Cl;

$R_9$  and  $R_{10}$  are each independently H;  $-C_1-C_4$ alkyl;  $C_3-C_7$ cycloalkyl;  $-(CH_2)_{1-6}-C_3-C_7$ cycloalkyl;  $-(CH_2)_{0-6}$ -phenyl; wherein alkyl, cycloalkyl and phenyl are unsubstituted or substituted, or  $R_9$  and  $R_{10}$  together with the nitrogen form het;

$R_5$  is H;  $C_1-C_{10}$ alkyl;  $C_3-C_7$ cycloalkyl;  $-(CH_2)_{1-6}-C_3-C_7$ cycloalkyl;  $-C_1-C_{10}$ alkyl-aryl;  $-(CH_2)_{0-6}-C_3-C_7$ cycloalkyl- $(CH_2)_{0-6}$ -phenyl;  $-(CH_2)_{0-4}CH-((CH_2)_{1-4}$ -phenyl) $_2$ ;  $-(CH_2)_{0-6}-CH(phenyl)_2$ ; -indanyl;  $-C(O)-C_1-C_{10}$ alkyl;  $-C(O)-(CH_2)_{1-6}-C_3-C_7$ cycloalkyl;  $-C(O)-(CH_2)_{0-6}$ -phenyl;  $-(CH_2)_{0-6}$ -het;  $-C(O)-(CH_2)_{1-6}$ -het; or  $R_5$  is a residue of an amino acid, wherein alkyl, cycloalkyl, phenyl and aryl are unsubstituted or substituted;

U is as shown in structure II:



wherein

$n = 0-5$ ;

X is  $-CH$  or N;

$R_a$  and  $R_b$  are independently an O, S, or N atom or  $C_{0-8}$  alkyl wherein one or more of the carbon atoms in the alkyl chain may be replaced by a heteroatom selected from O, S or N, and where the alkyl may be unsubstituted or substituted;

$R_d$  is selected from:

(a)  $Re - Q - (Rf)_p(Rg)_q$ ; or

(b)  $Ar_1-D-Ar_2$ ;

$p$  and  $q$  are independently 0 or 1;

$R_c$  is H or  $R_d$  and  $R_c$  together form cycloalkyl or het; where if  $R_d$  and  $R_c$  form a cycloalkyl or heteroring,  $R_5$  is attached to the formed ring at a C or N atom;

Re is C<sub>1-8</sub> alkyl which may be unsubstituted or substituted;

Q is N, O, S, S(O), or S(O)<sub>2</sub>;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted aryl or het;

Rf and Rg are each independently H or substituted or unsubstituted C<sub>0</sub>-C<sub>10</sub>alkyl, or C<sub>1</sub>-C<sub>10</sub>alkylaryl;

D is -CO-; -C(O)-C<sub>1-7</sub> alkylene or arylene; -CF<sub>2</sub>-; -O-; -S(O)<sub>r</sub> where r is 0-2; 1,3dioxolane; or C<sub>1-7</sub> alkyl-OH; where alkyl, alkylene or arylene may be unsubstituted or substituted with one or more halogens, OH, -O-C<sub>1</sub>-C<sub>6</sub>alkyl, -S-C<sub>1</sub>-C<sub>6</sub>alkyl or -CF<sub>3</sub>; or D is -N(Rh) wherein Rh is H; C<sub>1-7</sub> alkyl (unsub or substituted); aryl; -O(C<sub>1-7</sub>cycloalkyl) (unsub or substituted); C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; C(O)-C<sub>0</sub>-C<sub>10</sub>alkyl-aryl; C-O-C<sub>1</sub>-C<sub>10</sub>alkyl; C-O-C<sub>0</sub>-C<sub>10</sub>alkyl-aryl or SO<sub>2</sub>-C<sub>1</sub>-C<sub>10</sub>-alkyl; SO<sub>2</sub>-(C<sub>0</sub>-C<sub>10</sub>-alkylaryl);

and R<sub>6</sub>, R<sub>7</sub>, R'<sub>6</sub> and R'<sub>7</sub> are each independently H; -C<sub>1</sub>-C<sub>10</sub> alkyl; -OH; -O-C<sub>1</sub>-C<sub>10</sub>alkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; phenyl; -(CH<sub>2</sub>)<sub>1-6</sub>-het; -O-(CH<sub>2</sub>)<sub>1-6</sub>-het; -OR<sub>11</sub>; -C(O)-R<sub>11</sub>; -C(O)-N(R<sub>11</sub>)(R<sub>12</sub>); -N(R<sub>11</sub>)(R<sub>12</sub>); -S-R<sub>11</sub>; -S(O)-R<sub>11</sub>; -S(O)<sub>2</sub>-R<sub>11</sub>; -S(O)<sub>2</sub>-NR<sub>11</sub>R<sub>12</sub>; -NR<sub>11</sub>-S(O)<sub>2</sub>-R<sub>12</sub>; wherein alkyl, cycloalkyl and aryl are unsubstituted or substituted; or any R<sub>6</sub>, R<sub>7</sub>, R'<sub>6</sub> and R'<sub>7</sub> can be united to form a ring system; R<sub>11</sub> and R<sub>12</sub> are independently H; C<sub>1</sub>-C<sub>10</sub> alkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -(CH<sub>2</sub>)<sub>0-6</sub>-(CH)<sub>0-1</sub>(aryl)<sub>1-2</sub>; -C(O)-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(O)-O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-O-fluorenyl; -C(O)-NH-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(O)-(CH<sub>2</sub>)<sub>1-6</sub>-het; -C(S)-C<sub>1</sub>-C<sub>10</sub>alkyl; -C(S)-(CH<sub>2</sub>)<sub>1-6</sub>-C<sub>3</sub>-C<sub>7</sub>cycloalkyl; -C(S)-O-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>0-6</sub>-O-fluorenyl; -C(S)-NH-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>0-6</sub>-aryl; -C(S)-(CH<sub>2</sub>)<sub>1-6</sub>-het, wherein alkyl, cycloalkyl and aryl are unsubstituted or substituted; or R<sub>11</sub> and R<sub>12</sub> are a substituent that facilitates transport of the molecule across a cell membrane; or R<sub>11</sub> and R<sub>12</sub> together with the nitrogen are het; aryl of R<sub>11</sub> and R<sub>12</sub> can be phenyl, naphthyl, or indanyl which is unsubstituted or substituted; alkyl of R<sub>11</sub> and R<sub>12</sub> may be unsubstituted or substituted by one or more substituents selected from a C<sub>1</sub>-C<sub>10</sub> alkene, halogen, OH, -O-C<sub>1</sub>-C<sub>6</sub>alkyl, -S-C<sub>1</sub>-C<sub>6</sub>alkyl and -CF<sub>3</sub>;

cycloalkyl of  $R_{11}$  and  $R_{12}$  may be unsubstituted or substituted by one or more selected from a  $C_1$ - $C_{10}$  alkene, one or more halogens,  $C_1$ - $C_6$ alkyl, halogen, OH, -O- $C_1$ - $C_6$ alkyl, -S- $C_1$ - $C_6$ alkyl or  $-CF_3$ ; and phenyl or aryl of  $R_{11}$  and  $R_{12}$  may be unsubstituted or substituted by one or more substituents selected from halogen, hydroxy,  $C_1$ - $C_4$  alkyl,  $C_1$ - $C_4$  alkoxy, nitro, -CN, -O-C(O)- $C_1$ - $C_4$ alkyl and -C(O)-O- $C_1$ - $C_4$ -aryl; or pharmaceutically acceptable salts thereof.

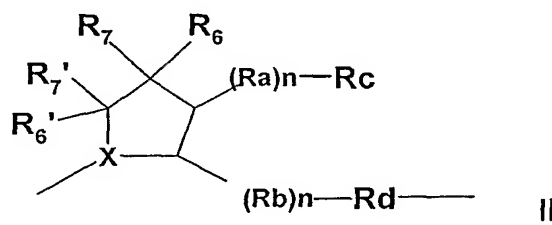
3. A compound according to Claim 1 wherein

$R_1$  and  $R_2$  are independently H or substituted or unsubstituted  $C_1$ - $C_4$ alkyl;

$R_4$  is  $C_1$ - $C_{16}$  straight or branched alkyl, or  $C_3$ - $C_{10}$ cycloalkyl, wherein the alkyl or cycloalkyl may be unsubstituted or substituted;

$R_5$  is H;  $C_1$ - $C_{10}$ alkyl;  $C_1$ - $C_{10}$ alkyl-aryl; -C(O)- $(CH_2)_{0-6}$ -Phenyl;  $-(CH_2)_{0-6}$ -C(O)-Phenyl; aryl; indanyl; naphthyl or  $R_5$  is a residue of an amino acid, wherein the alkyl or aryl substituents are unsubstituted or substituted;

U is as shown in structure II:



wherein

$n = 0-5$ ;

X is -CH or N;

Ra and Rb are independently an O, S, or N atom or  $C_{0-8}$  alkyl wherein one or more of the carbon atoms in the alkyl chain may be replaced by a heteroatom selected from O, S or N, and where the alkyl may be unsubstituted or substituted;

Rd is selected from

(a)  $--Re - Q - (Rf)_p(Rg)_q$ ; or

(c)  $Ar_1-D-Ar_2$ ;



Rc is H or Rc and Rd together form cycloalkyl or het; where if Rd and Rc form a cycloalkyl or heteroring, R<sub>5</sub> is attached to the formed ring at a C or N atom;

p and q are independently 0 or 1;

Re is C<sub>1-8</sub> alkyl, or methylenide which may be unsubstituted or substituted;

Q is N, O, S, S(O), or S(O)<sub>2</sub>;

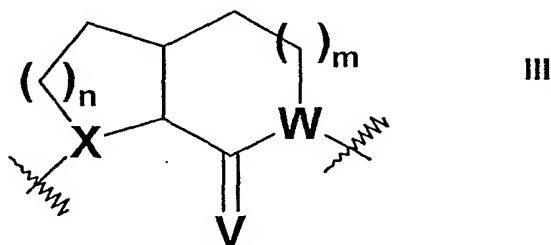
Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted aryl or het;

Rf and Rg are each independently H or substituted or unsubstituted C<sub>0</sub>-C<sub>10</sub>alkyl; C<sub>1</sub>-C<sub>10</sub>alkylaryl; aryl-C<sub>1</sub>-C<sub>10</sub>alkyl; het-C<sub>1</sub>-C<sub>10</sub>alkyl -C(O)-C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl; -C(O)-C<sub>1</sub>-C<sub>4</sub>-alkyl; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkyl; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkylphenyl; -O-C<sub>1</sub>-C<sub>4</sub>-alkyl;

D is -C(O)-; C<sub>1-7</sub> alkylene or arylene; -O-, or -S(O)<sub>r</sub> where r is 0-2; where alkyl, alkylene or arylene which may be unsubstituted or substituted with one or more halogens; -OH; -O-C<sub>1</sub>-C<sub>6</sub>alkyl; -S-C<sub>1</sub>-C<sub>6</sub>alkyl or -CF<sub>3</sub>; or D is NR<sub>h</sub> wherein R<sub>h</sub> is H; C<sub>1-7</sub> alkyl (unsubstituted or substituted); aryl; -OC<sub>1-7</sub> cycloalkyl (unsubstituted or substituted); -CO-C<sub>0-10</sub> alkyl or aryl or SO<sub>2</sub>-C<sub>0-10</sub> -alkyl or aryl; and R<sub>6</sub>, R<sub>7</sub>, R'<sub>6</sub> and R'<sub>7</sub> are each independently H, -C<sub>1</sub>-C<sub>10</sub> alkyl, or -OH, alkoxy, or aryloxy; or pharmaceutically acceptable salts thereof.

4. A compound according to Claim 1 wherein

U is a bicyclic saturated or unsaturated ring system, consisting of all carbon skeleton or with one or more heteroatoms such as O, N, S but preferably as shown in structure III:



wherein

wherein any of the ring carbon atoms can be unsubstituted or substituted with any of the substituted defined above for  $R_6$ ,  $R_7$ ,  $R_6'$  and  $R_7'$ ;

X is CH or N;

V is O,  $F_2$ ,  $Cl_2$ ,  $Br_2$ ,  $I_2$ , S, YH,  $H_2$ , NH, or  $C_1$ - $C_4$  alkyl;

W is -CH, or -N;

n is 0-3; and

m is 0-3.

5. A compound according to Claim 1 wherein the ring carbon atoms on U are substituted with substituents independently selected from halo, H, OH, lower alkyl or lower alkoxy, wherein alkyl or alkoxy are unsubstituted or substituted by halogen, OH, lower alkyl or lower alkoxy.

6. A compound according to Claim 1 wherein

$R_1$  and  $R_3$  are preferably methyl or ethyl;

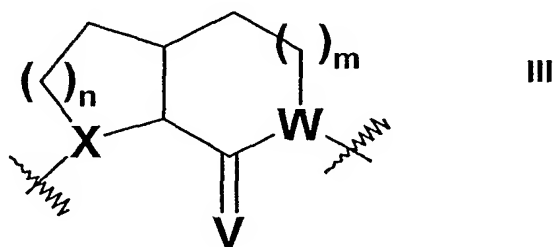
$R_2$  is especially H methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

$R_4$  is  $-C_1$ - $C_4$ alkyl;  $-C_3$ - $C_7$  cycloalkyl;  $-(CH_2)_{1-6}$ cycloalkyl; or  $-(CH_2)_{0-6}$ aryl;

$R_5$  is  $-C_1$ - $C_4$ alkyl-phenyl;  $-C(O)$ - $C_1$ - $C_4$ -alkyl-phenyl;  $-C_1$ - $C_4$ - $C(O)$ -alkyl-phenyl or aryl,  $R_5$  is particularly phenylmethyl, phenylethyl and phenylpropyl; indanyl, naphthyl;  $-C(O)-CH_2$ -phenyl or  $-CH_2-C(O)$ -phenyl;

$R_6$  and  $R_7$  are H or methyl;

U has the structure of formula III:



wherein

wherein any of the ring carbon atoms can be unsubstituted or substituted with any of the substituted defined above for  $R_6$ ,  $R_7$ ,  $R_6'$  and  $R_7'$ ;

X is N;

V is O or  $H_2$ ;

W is -N;

n is 1; and

m is 1 or 2.

7. A compound according to Claim 1 wherein

$R_1$  and  $R_3$  are preferably methyl or ethyl;

$R_2$  is H;

$R_4$  is  $C_1$ - $C_4$ alkyl;  $C_3$ - $C_7$  cycloalkyl;  $C_1$ - $C_7$  cycloalkyl- $C_1$ - $C_7$ alkyl; phenyl- $C_1$ - $C_7$ alkyl or aryl.  $R_4$  is particularly methyl, ethyl, butyl, isopropyl, t-butyl, or cyclohexyl;  $-CH_2$ -cyclopentyl,  $-CH_2$ -cyclohexyl;  $-CH_2$ -cyclopropyl; phenyl or  $-CH_2$ -phenyl;

$R_5$  is  $-C_1$ - $C_4$ -alkyl-phenyl;  $-C(O)$   $-C_1$ - $C_4$ -alkyl-phenyl;  $-C_1$ - $C_4$   $-C(O)$  -alkyl-phenyl or aryl.  $R_5$  is particularly phenylethyl; indanyl, naphthyl;  $-C(O)$   $-CH_2$ -phenyl;  $-CH_2$   $-C(O)$  -phenyl; or  $(CF_3O)$ phenylethyl;

$R_6$ ,  $R_6'$ ,  $R_7$  and  $R_7'$  are H;

U has the structure of formula III wherein

wherein any of the ring carbon atoms can be unsubstituted or substituted with any of the substituted defined above for  $R_6$ ,  $R_7$ ,  $R_6'$  and  $R_7'$ ;

X is N;

V is O or  $H_2$ ;

W is -N;

n is 1; and

m is 1 or 2.

8. A compound according to Claim 1 wherein

$R_1$  and  $R_3$  are preferably methyl or ethyl;

$R_2$  is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> is H;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted phenyl or het particularly tetrazolyl, 1, 2,3-triazole, pyrazole, oxazole, pyrrolyl, triazine, pyrimidine, imidazol, oxadiazol; and D is C<sub>1</sub> alkyl which may optionally be substituted with halo, especially F.

9. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl; C<sub>3</sub>-C<sub>7</sub> cycloalkyl; C<sub>1</sub>-C<sub>7</sub> cycloalkyl-C<sub>1</sub>-C<sub>7</sub>alkyl; phenyl-C<sub>1</sub>-C<sub>7</sub>alkyl or aryl;

R<sub>5</sub> is H;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H; or R<sub>6</sub> is -C(O)-C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl and R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> is H;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted phenyl or het, particularly triazine, pyrimidine, pyridine, oxazole, 2,4-difluorophenyl, Cl-phenyl or fluorophenyl; and D is N(Rh), where Rh is H, Me, -CHO, -SO<sub>2</sub>, -C(O), -CHOH, CF<sub>3</sub> or -SO<sub>2</sub>CH<sub>3</sub>.

10. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl; C<sub>3</sub>-C<sub>7</sub> cycloalkyl; C<sub>1</sub>-C<sub>7</sub> cycloalkyl- C<sub>1</sub>-C<sub>7</sub>alkyl; phenyl-C<sub>1</sub>-C<sub>7</sub>alkyl or aryl. R<sub>4</sub> is particularly methyl, ethyl, butyl, isopropyl, t-butyl, or cyclohexyl; -CH<sub>2</sub>-cyclopentyl, -CH<sub>2</sub>-cyclohexyl; -CH<sub>2</sub>-cyclopropyl; phenyl or -CH<sub>2</sub>-phenyl;

R<sub>5</sub> is H;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> is H;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted phenyl or het particularly pyrimidine, pyridine, oxazole, 2-methyloxazole;

and D is -O-.

11. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> is H;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted phenyl or het;

and D is S, S(O), or S(O)<sub>2</sub>.

12. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> is H;

Ar<sub>1</sub> and Ar<sub>2</sub> are substituted or unsubstituted phenyl or het, particularly oxazole, thiazole and ozadiazole;

and D is C(O), or 1,3-dioxolane.

13. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H or phenyl C<sub>1</sub>-C<sub>10</sub> alkyl such as phenylethyl;

U has the structure of formula II wherein

X is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

R<sub>c</sub> and R<sub>d</sub> are het, particularly pyrrolidine; pyrrolidin-2-one; or pyrrolidin-3-one.

14. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H, indanyl or phenyl;

U has the structure of formula II wherein

X is N;  
 Q is O;  
 R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;  
 n is O;  
 Re is C<sub>1</sub> alkyl; and  
 p and q are 0.

15. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;  
 R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;  
 R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;  
 R<sub>5</sub> is H, indanyl or phenyl;  
 U has the structure of formula II wherein  
 X is N;  
 Q is N;  
 R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;  
 n is O;  
 Re is C<sub>1</sub> alkyl; and  
 R<sub>9</sub> is H, C<sub>1</sub>-C<sub>8</sub> alkyl, methyl, ethyl, hexyl, heptyl, octyl, or CH<sub>2</sub>CF<sub>3</sub>, or aryl-C<sub>1</sub>-C<sub>4</sub> alkyl particularly phenylethyl, furanylethyl; C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly cyclohexyl; ethylphenyl; -C(O) -C<sub>1</sub>-C<sub>4</sub>-alkyl-phenyl; -C(O) -C<sub>1</sub>-C<sub>4</sub>-alkyl; -C<sub>1</sub>-C<sub>4</sub>-alkyl-aryl particularly -CH<sub>2</sub>-phenyl; -CH<sub>2</sub>-thiophene, -CH<sub>2</sub>-furan, -CH<sub>2</sub>-pyrrolidiny, -CH<sub>2</sub>-imidazole, -CH<sub>2</sub>-triazole, -CH<sub>2</sub>-imidazole;  
 and R<sub>f</sub> is C<sub>1</sub>-C<sub>2</sub> alkyl; C<sub>1</sub>-C<sub>2</sub> alkylphenyl; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkyl; -SO<sub>2</sub>-C<sub>1</sub>-C<sub>2</sub>alkylphenyl; -O-C<sub>1</sub>-C<sub>4</sub>-alkyl particularly O-ethyl; phenyl-phenyl, 1,2,3,4tetrahydronaphthalene and indanyl.

16. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;  
 R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is H, indanyl or phenyl;

U has the structure of formula II wherein

X is N;

Q is N;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

Re is C<sub>1</sub> alkyl; and

R<sub>g</sub> and R<sub>f</sub> form a ring selected from het or aryl particularly 2,3,4,5-tetrahydrobenzo[c]azepine; 1,2,3,4 tetrahydroquinoline; indanyl which may be substituted with C<sub>1</sub>-C<sub>4</sub>alkylphenyl

17. A compound according to Claim 1 wherein

R<sub>1</sub> and R<sub>3</sub> are preferably methyl or ethyl;

R<sub>2</sub> is especially H, methyl, ethyl, chloromethyl, dichloromethyl or trifluoromethyl;

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub>alkyl or C<sub>3</sub>-C<sub>7</sub> cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

R<sub>5</sub> is phenyl;

U has the structure of formula II wherein

X is N;

Q is O, S, S(O) or S(O)<sub>2</sub>;

R<sub>6</sub>, R'<sub>6</sub>, R<sub>7</sub>, and R'<sub>7</sub> are H;

n is O;

Re is C<sub>1</sub> alkyl;

q is 0;

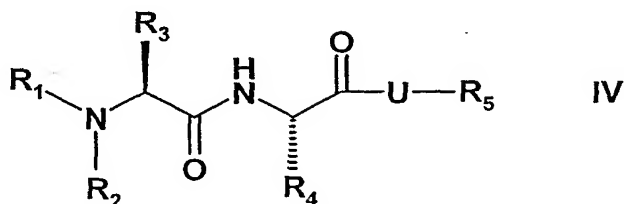
R<sub>c</sub> is H;

and R<sub>f</sub> is C<sub>2</sub> alkyl.

18. A compound according to Claim 1 wherein R<sub>3</sub> and R<sub>4</sub> have the stereochemistry indicated in formula IV, with the definitions of the variable substituents and



preferences described herein above also applying to compounds having the stereochemistry indicated in formula IV.



19. A compound according to Claim 18 wherein compound with the stereochemistry of formula (IV) wherein

$R_1$  and  $R_3$  are preferably methyl or ethyl;

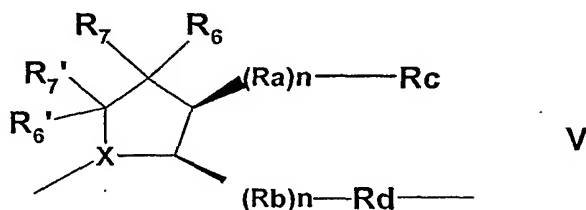
$R_2$  is H, methyl, ethyl, or substituted methyl especially chloromethyl, dichloromethyl and trifluoromethyl; preferably  $R_2$  is H or unsubstituted methyl;

$R_4$  is  $C_1$ - $C_4$ alkyl or  $C_3$ - $C_7$  cycloalkyl particularly isopropyl, t-butyl, cyclopentyl, or cyclohexyl;

$R_5$  is  $-C_1$ - $C_4$ -alkyl-phenyl, particularly phenylmethyl, phenylethyl and phenylpropyl, indanyl, naphthyl; and

$R_6$  and  $R_7$  are H or methyl.

20. A compound according to Claim 1 wherein the stereochemistry for U is as shown in Figure V



21. A pharmaceutical composition which comprises a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of formula I according to claim 1.
22. A method of treating a proliferative disease which comprises administering a therapeutically effective amount of a compound of formula I according to claim 1 to a mammal in need of such treatment.
23. A method of claim 22 wherein the mammal is a human.
24. A compound selected from:
- N*-[1-Cyclohexyl-2-oxo-2-(6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridin-1-yl)-ethyl]-2-methylamino-acetamide;
- 2-Methylamino-*N*-[2-methyl-1-(7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepine-1-carbonyl)-propyl]-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-butyramide;
- 2-Methylamino-*N*-[2-methyl-1-(7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-butyramide;
- 2-Methylamino-*N*-[2-methyl-1-(8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepine-1-carbonyl)-propyl]-butyramide;

- N*-[1-Cyclohexyl-2-oxo-2-(7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridin-1-yl)-ethyl]-2-methylamino-propionamide;
- 2-Methylamino-*N*-{2-methyl-1-[5-(3-methyl-hexa-3,5-dienyl)-6-oxo-hexahydro-pyrrolo[3,4-*b*]pyrrole-1-carbonyl]-propyl}-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(3-methyl-7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(3-methyl-7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-propyl]-propionamide;
- N*-[1-(4-Benzoyloxy-7-oxo-6-phenethyl-octahydro-pyrrolo[2,3-*c*]pyridine-1-carbonyl)-2-methyl-propyl]-2-methylamino-propionamide;
- N*-[1-Cyclohexyl-2-oxo-2-(8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepin-1-yl)-ethyl]-2-methylamino-butyramide;
- N*-[1-Cyclohexyl-2-oxo-2-(8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepin-1-yl)-ethyl]-2-methylamino-butyramide;
- N*-[1-Cyclohexyl-2-oxo-2-(7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepin-1-yl)-ethyl]-2-methylamino-propionamide;
- 2-Methylamino-*N*-[2-methyl-1-(8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-*c*]azepine-1-carbonyl)-propyl]-butyramide;
- (*S*)-*N*-{(*S*)-2-[(*R*)-2-(3-Benzyl-phenyl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-propionamide;
- (*S*)-*N*-{(*S*)-2-[(*S*)-2-(3-Benzyl-phenyl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-propionamide;
- (*S*)-2-Methylamino-*N*-[(*S*)-2-methyl-1-[(*S*)-2-[3-(methyl-phenyl-amino)-phenyl]-pyrrolidine-1-carbonyl]-propyl]-propionamide;

(S)-N-((S)-1-Cyclohexyl-2-[(S)-2-[3-(methyl-phenyl-amino)-phenyl]-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-((S)-1-Cyclohexyl-2-[(R)-2-[3-(methyl-phenyl-amino)-phenyl]-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(R)-2-(3-phenoxy-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(S)-2-(3-phenoxy-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(R)-2-(3-phenylsulfanyl-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(S)-2-(3-phenylsulfanyl-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-2-[(R)-2-(3-Benzenesulfonyl-phenyl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-2-[(S)-2-(2-Benzyl-2H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-2-[(S)-2-(2-Benzyl-2H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-butyramide;

(S)-N-[(S)-2-[(S)-2-(1-Benzyl-1H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-2-[(S)-2-(1-Benzyl-1H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-butyramide;

(S)-N-[(S)-2-[2-(Benzyloxyimino-ethyl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl]-2-methylamino-propionamide;

(S)-2-Methylamino-N-[(S)-2-methyl-1-[2-((S)-phenylmethanesulfonylamino-methyl)-pyrrolidine-1-carbonyl]-propyl]-propionamide;

(S)-2-Methylamino-N-[(S)-2-methyl-1-[2-((S)-phenylmethanesulfonylamino-methyl)-pyrrolidine-1-carbonyl]-propyl]-butyramide;

N-(1-Cyclohexyl-2-[(S)-2-[(ethyl-indan-2-yl-amino)-methyl]-pyrrolidin-1-yl]-2-oxo-ethyl)-2-((S)-methylamino)-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-(2-[(S)-indan-2-yl-(2,2,2-trifluoro-ethyl)-amino]-methyl)-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-{2-[(S)-cyclohexyl-phenethyl-amino]-methyl}-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-2-{2-[(S)-tert-Butyl-phenethyl-amino]-methyl}-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-{2-[(S)-furan-2-ylmethyl-phenethyl-amino]-methyl}-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-(2-[(S)-phenethyl-(4-phenyl-butyl)-amino]-methyl)-pyrrolidin-1-yl]-ethyl)-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-(2-[(S)-methyl-(4-phenyl-butyl)-amino]-methyl)-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

N-[(S)-1-(S)-Cyclohexyl-2-oxo-2-((R)-6-phenethyl-octahydro-pyrrolo[2,3-c]pyridin-1-yl)-ethyl]-acetamide;

(S)-N-[(S)-1-(S)-Cyclohexyl-2-oxo-2-((R)-6-phenethyl-octahydro-pyrrolo[2,3-c]pyridin-1-yl)-ethyl]-2-methylamino-butylamide;

(S)-2-Methylamino-N-[(S)-2-methyl-1-((R)-6-phenethyl-octahydro-pyrrolo[2,3-c]pyridine-1-carbonyl)-propyl]-propionamide;

- (S)-N-[(S)-2,2-Dimethyl-1-((R)-6-phenethyl-octahydro-pyrrolo[2,3-c]pyridine-1-carbonyl)-propyl]-2-methylamino-propionamide;
- (S)-2-Methylamino-N-[(S)-2-methyl-1-((R)-6-phenethyl-octahydro-pyrrolo [2,3-c]pyridine-1-carbonyl)-propyl] -butyramide;
- (S)-N-[(S)-2,2-Dimethyl-1-((3aR,7aS)-6-phenethyl-octahydro-pyrrolo[2,3 -c]pyridine-1-carbonyl)-propyl]-2-methylamino-propionamide;
- (S)-N-((S)-1-Cyclohexyl-2-oxo-2-((3 aR,7aS)-6-[2-(2-trifluoromethoxy-phenyl)-ethyl]-octahydro-pyrrolo[2,3- c]pyridin-1-yl)-ethyl)-2-methylamino-propionamide;
- (S)-N-((S)-1-Cyclohexyl-2-oxo-2-((3 aR,7aS)-6-[2-(3-trifluoromethoxy-phenyl)-ethyl]-octahydro-pyrrolo[2,3- c]pyridin-1-yl)-ethyl)-2-methylamino-propionamide;
- (S)-N-[(S)-1-Cyclohexyl-2-oxo-2-((3 aR,6aR)-5-phenethyl-hexahydro-pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]-2-methylamino-butyramide;
- (S)-N-[(S)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-5-phenethyl-hexahydro-pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]-2-methylamino-butyramide;
- (S)-N-[(S)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-5-phenethyl-hexahydro-pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]-2-methylamino-propionamide;
- (S)-N-[(S)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-6-oxo-5-phenethyl-hexahydro -pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]- 2-methylamino-butyramide;
- (S)-N-[(R)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-6-oxo-5-phenethyl-hexahydro -pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]- 2-methylamino-butyramide;
- (S)-N-[(S)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-6-oxo-5-phenethyl-hexahydro -pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]- 2-methylamino-propionamide;
- (S)-N-[(R)-1-Cyclohexyl-2-oxo-2-((3 aS,6aS)-6-oxo-5-phenethyl-hexahydro -pyrrolo[3,4-b]pyrrol-1-yl)-ethyl]- 2-methylamino-propionamide;

(S)-N-[(S)-1-(R)-Cyclohexyl-2-oxo-2-((S)-7-phenethyl-octahydro-pyrrolo [2,3-c]azepin-1-yl)-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-1-(S)-Cyclohexyl-2-oxo-2-((R)-8-oxo-7-phenethyl-octahydro-pyrrolo[2,3-c]azepin-1-yl)-ethyl]-2- methylamino-butyramide; and pharmaceutically acceptable salts thereof.

25. A compound selected from

N-[1-cyclohexyl-2-oxo-2-(6-phenethyl-octahydro-pyrrolo[2,3-c]pyridin-1-yl)-ethyl]-2-methylamino-propionamide;

N-{1-cyclohexyl-2-oxo-2-(2-(3-phenoxy-phenyl) pyrrolidin-1-yl)-ethyl}-2-methylamino-propionamide;

N-[1-cyclohexyl-2-oxo-2-(7-phenethyl-octahydro-pyrrolo[2,3-c]azepin-1-yl)-ethyl]-2-methylaminopropionamide;

(S)-N-((S)-1-Cyclohexyl-2-[(2S,3R)-2-[(ethyl-phenethyl-amino)-methyl]-3-methyl-pyrrolidin-1-yl]-2-oxo-ethyl)-2-methylamino-propionamide;

N-{2-[2-(2-benzyl-2H-tetrazol-5-yl)-pyrrolidin-1-yl]-cyclohexyl-2-oxo-ethyl}-2-methylamino-butyramide;

N-{2-[2-Benxyloxyimino-methyl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-propionamide; and pharmaceutically acceptable salts thereof.

26. A compound selected from

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(S)-2-(3-phenoxy-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-[(S)-1-Cyclohexyl-2-oxo-2-[(S)-2-(3-phenylsulfanyl-phenyl)-pyrrolidin-1-yl]-ethyl]-2-methylamino-propionamide;

(S)-N-{(S)-2-[(S)-2-(2-Benzyl-2H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-propionamide;

(S)-N-{(S)-2-[(S)-2-(2-Benzyl-2H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-butyramide;

(S)-N-{(S)-2-[(S)-2-(1-Benzyl-1H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-propionamide;

(S)-N-{(S)-2-[(S)-2-(1-Benzyl-1H-tetrazol-5-yl)-pyrrolidin-1-yl]-1-cyclohexyl-2-oxo-ethyl}-2-methylamino-butyramide; and pharmaceutically acceptable salts thereof